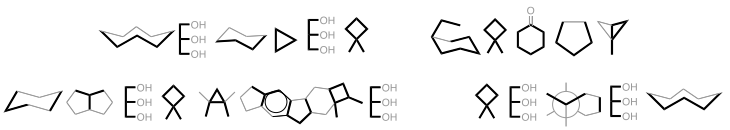

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Next Due Date: Wednesday, August 15, 2012

Instructions for Authors (*Volume 37*)

Identify articles to abstract in the journals you have been assigned. Try to pick things that the group (or specific subgroups) would like to read or should be aware of. This does not need to be limited to chemistry! If you encounter interesting pieces of media elsewhere (The Economist being a recent example) don't hesitate to let the group know. If you are splitting a journal with another group member, talk with him/her to be sure you are not reviewing redundantly. If you are not able to cover your journal for some reason, get someone to cover it for you—as if it were your group job.

Create an Abstract

Abstract submissions are usually prepared using ChemDraw. The editors of the *Lit Review* strongly encourage the copying of graphical material from PDF files and wish to point out the following. Graphics stored in PDF files are typically of postscript or >300 dpi quality. When an image is copied into a ChemDraw document, a screen snapshot is taken, and the image is captured at the present screen resolution. If the PDF file is being viewed zoomed-in, this typically results in the transfer of a high quality image. If the PDF is being viewed zoomed-out, a low quality image typically results. Text can be copied from a PDF file and pasted as text using the text select or column select tool. Once pasted, this text behaves as if it were input from the keyboard.

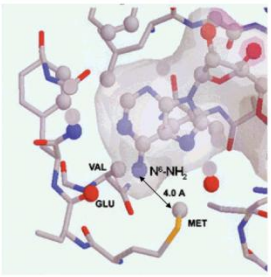
Include a brief textual summary of the article; an example of a completed abstract is shown below. The list of topics and subgroups on the right is useful to highlight which subgroups should pay attention to your abstract and roughly what kind of chemistry the article contains.

Please email the files to jmattler@stanford.edu. Late abstracts will be included in the Lit Review for the following month.

Citation: Abeyweera, T.P.; Rotenberg, S.A. *Biochemistry* **2007**, *46*, 2364-2370

Design and Characterization of a Traceable Protein Kinase C-alpha

Protein kinase CR (PKCR) is a critical component of pathways that govern cancer-related phenotypes such as invasion and proliferation. Proteins that serve as immediate substrates for PKCR offer potential targets for anticancer drug design. To identify specific substrates, a mutant of PKCR (M417A) was constructed at the ATP binding site such that it could bind a sterically large ATP analogue derivatized through the N6 amino group of adenosine (1ç-32Pl-N6-phenyl-ATP). Because this analogue could be utilized by the mutant kinase but not by wild-type PKCR (or presumably other protein kinase) to phosphorylate peptide or protein substrates, 32P-labeled products were the direct result of the mutant PKCR.



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Citation: Dictionary.com (search term = "mook")

For those of you who always wanted to know what it meant....

mook **Pronunciation Key** (mk) *n. Slang*

An insignificant or contemptible person.

methods
synthesis

DON'T BE A MOOK!

Lit Review MOOKS include those who:

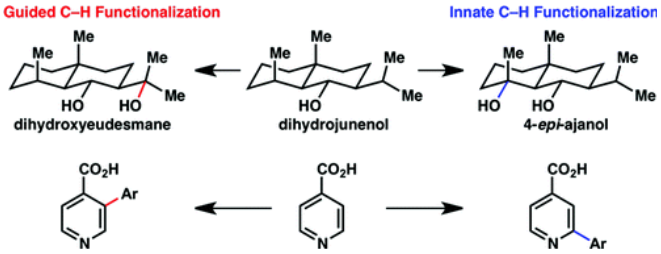
- fail to submit their abstracts in a timely fashion (or at all), or
- claim there was nothing to abstract in *JACS*, *JOC*, *Org. Lett.*, etc.

Penalties for being a Lit Review MOOK:

- You will not receive a printed copy of the Lit Review.
- You will get last choice when it's time to pick new journals.
- We will crack your corn (clean in half)

Citation: Bruckl, T.; Baxter, R.D.; Ishihara, Y. *Acc. Chem. Res.*, **2012**, *45* (6), 826-839

Innate and Guided C-H Functionalization Logic



In this Account, we trace our own studies in the area of C–H functionalization in synthesis through the lens of "guided" and "innate" descriptors. We show how harnessing innate reactivity can be beneficial for achieving unique bond constructions between heterocycles and carbonyl compounds, enabling rapid and scalable total syntheses.

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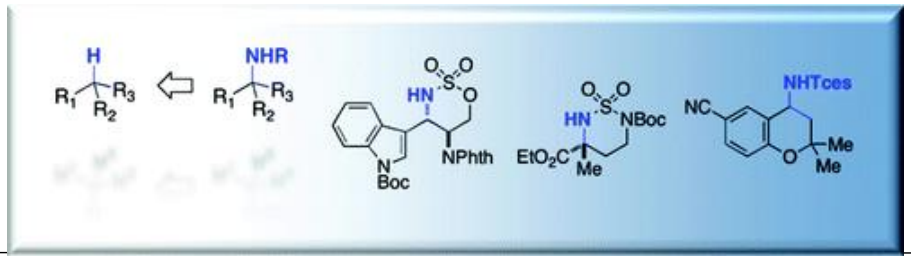
Citation: Roizen, J.L.; Harvey, M.E.; Du Bois, J. *Acc. Chem. Res.*, **2012**, *45* (6), 911-922

Metal-Catalyzed Nitrogen-Atom Transfer Methods for the Oxidation of Aliphatic C-H Bonds

This Account discusses advances in both intra- and intermolecular amination processes mediated by dirhodium and diruthenium complexes, as well as the mechanistic foundations of catalyst reactivity and arrest. Explicit reference is given to questions that remain unanswered and to problem areas that are rich for discovery.



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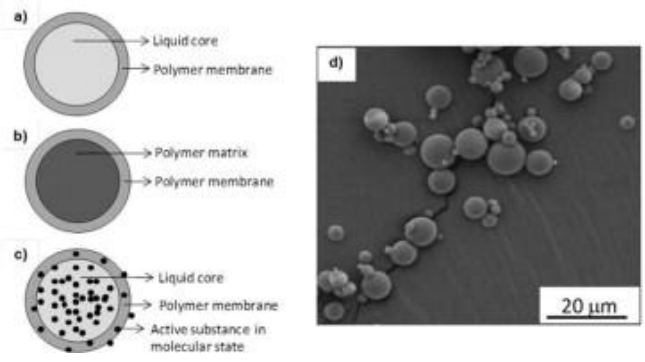
Citation: *ADDR,* Volume 64, Issue 10, July 2012, Pages 953–964

Novel methods of antiepileptic drug delivery — Polymer-based implants

Describes multiple methods of formulation of polymer-drug encapsulation for implantable release.



Particle structures: (a) liquid core, (b) polymer matrix and (c) active substance in dispersion.

(d) PLGA particles

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Citation: Beal, D; Jones, L. *Angew. Chem. Int. Ed.* **2012**, *51 (26)*, 6320-6326.

Molecular Scaffolds Using Multiple Orthogonal Conjugations: Applications in Chemical Biology and Drug Discovery

More tools, please! The toolbox of synthetic transformations that facilitate chemistry at the interface with biology, particularly in a pharmaceutical setting, is still far from adequate. Heteromultifunctional scaffolds (represented by the pocket knife in the picture) suitable for sequential "click" reactions have been developed recently that may find significant utility in the areas of chemical biology and chemically enabled biotherapeutics

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Citation: Yamada, T.; et. al. *Angew. Chem. Int. Ed.* **2012**, *51 (28)*, 6989-6992.

C-C Bond Formation with Carbon Dioxide Promoted by a Silver Catalyst

A catalytic amount of silver benzoate with 7-methyl-1,5,7-triazabicyclo[4.4.0]dec-5-ene (MTBD) was an effective catalytic system for the reaction of carbon dioxide with various ketones containing an alkyne group at an appropriate position (see scheme). These reactions afforded the corresponding ?-lactone derivatives in good to high yields under mild conditions.

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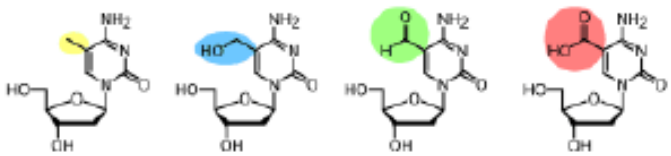
Citation: Carell, T.; et al. *Angew. Chem. Int. Ed.* **2012**, *51 (29)*, 7110-7131.

Structure and Function of Noncanonical Nucleobases

Besides their four canonical nucleobases, DNA and RNA contain a variety of highly modified nucleosides including the epigenetic bases mC, hmC, fC, and caC (see scheme), which are able to increase the chemical information content. The function of these modified bases is to generate a second level of chemical complexity in RNA and DNA in addition to the first coding level provided by the sequence of the canonical Watson–Crick bases.



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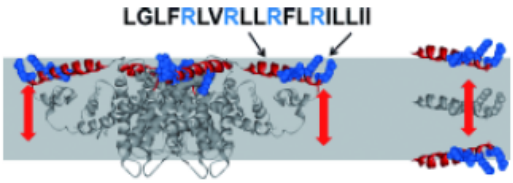
Citation: He, J.; Hristova, K.; Wimley, W. *Angew. Chem. Int. Ed.* **2012**, *51 (29)*, 7150-7153.

A Highly Charged Voltage-Sensor Helix Spontaneously Translocates across Membranes

Moving freely: A recent model for voltage gating of potassium channels proposed that the four arginine residues of the voltage-sensing S4 helix (left) are in direct contact with the membrane lipids and move into the hydrocarbon core of the membrane during gating. It is demonstrated that the physical properties of the isolated S4 sequence (right) are sufficient to allow it to freely translocate across synthetic membranes.



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Citation: Ball, Z.; et al. *Angew. Chem. Int. Ed.* **2012**, *51 (29)*, 7217-7220.

Hybrid Organic–Inorganic Inhibitors of a PDZ Interaction that Regulates the Endocytic Fate of CFTR

Together strong: Cooperative binding of organic (see picture, red) and inorganic fragments provides a strategy for the potent inhibition of protein–protein interactions. By targeting specific Lewis basic side chains in peripheral regions of the binding site for coordination to a rhodium(II) center, the affinity of otherwise weak ligands is improved.

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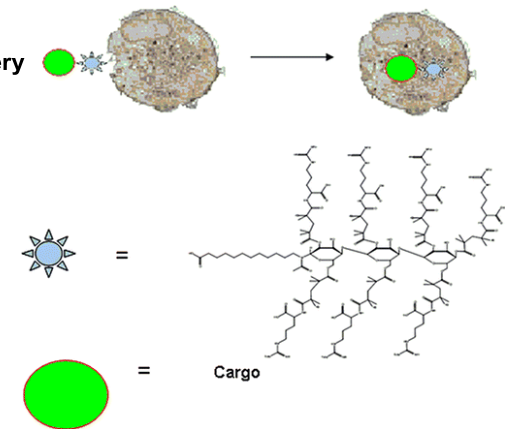
Citation: *Bioconjugate Chem.* 2012, Article ASAP, DOI: 10.1021/bc200666u

Star-Like Oligo-Arginyl-Maltotriosyl Derivatives as Novel Cell-Penetrating Enhancers for the Intracellular Delivery of Colloidal Therapeutic Systems

A novel nonpeptide, multiarmed oligo-arginyl derivative was engineered as a cell-penetration enhancer for the delivery of bioactive macromolecules and colloidal drug systems. Hepta-arginyl-maltotriosylamido-N-acetyl-dodecanoyl acid (Arg7-Malt-NAcC12 acid) was synthesized through a carefully designed multistep chemical protocol.



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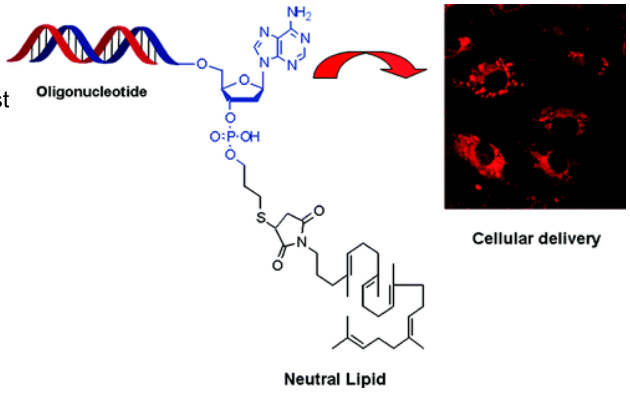
Citation: *Bioconjugate Chem.* 2012, 23 (6), pp 1091–1104

Lipid Conjugated Oligonucleotides: A Useful Strategy for Delivery

Neutral lipid–oligonucleotide conjugates have become a subject of considerable interest to improve the safe delivery of oligonucleotides. These molecules have been chemically conjugated to hydrophobic moieties such as cholesterol, squalene, or fatty acids to enhance their pharmacokinetic behavior and trans-membrane delivery.



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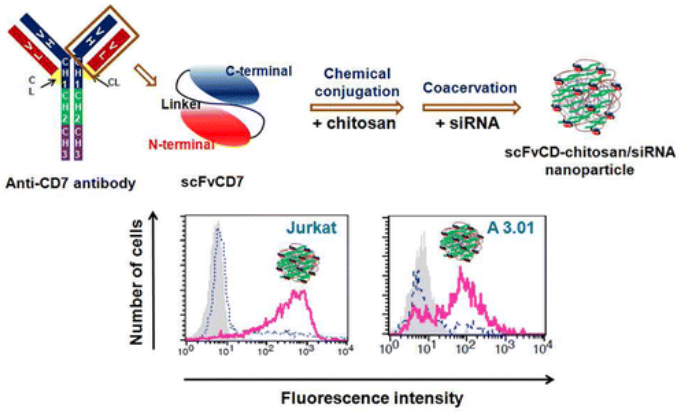
Citation: *Bioconjugate Chem.*, **2012,** 23 (6), pp 1174–1180

T Cell-Specific siRNA Delivery Using Antibody-Conjugated Chitosan Nanoparticles



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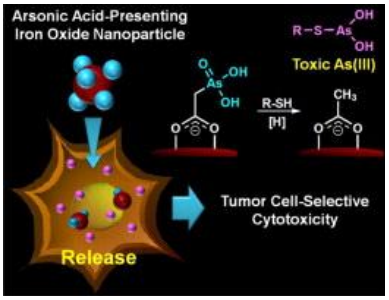
Citation: Lebel, H. et al. Bioorg.Med.Chem. **2012**, 4675-4679.

Tumor cell-specific prodrugs using arsonic acid-presenting iron oxide nanoparticles with high sensitivity



Cell viabilities of HepG2 and HeLaS3 were significantly reduced by arsenic acid-modified nanoparticles.

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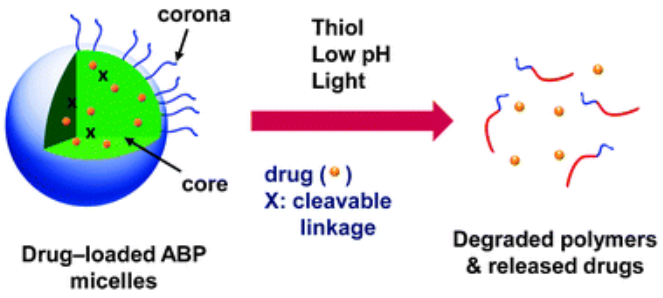
Citation: Chem. Commun., 2012, 48, 7542–7552

Recent advances in stimuli-reponsive degradable block copolymer micelles: synthesis and controlled drug delivery applications



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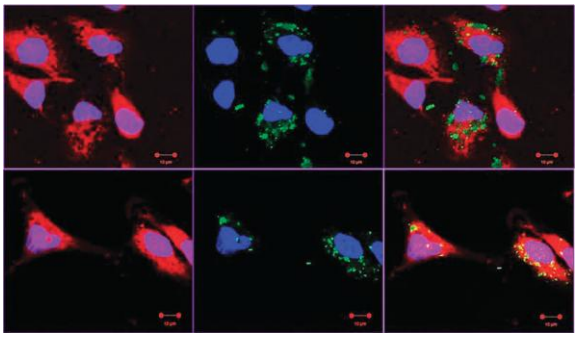
Citation: Chem. Commun., 2012, 48, 7474–7476

Magnetic response of mitochondria-targeted cancer cells with bacterial magnetic nanoparticles



Mostly because the title reminded me of magnetic algae. The deomonstrated method may be useful for targeted cell therapy.

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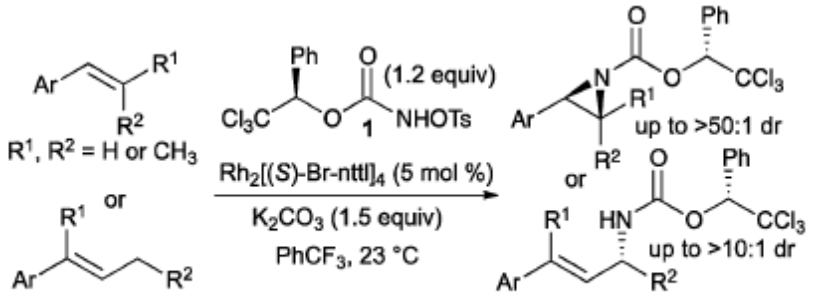
Citation: Chem. Commun., 2012, 48, 7799–7801

Stereoselectie intermolecular C-H amination reactions



Scheme 1 Stereoselective amination of alkenes with chiral reagent **1**.[11]

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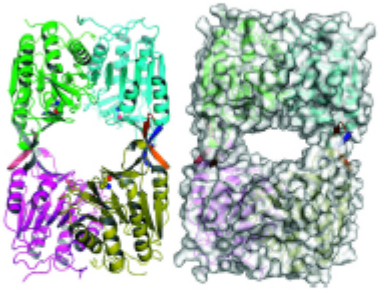
Borman, S. *Chemical & Engineering News*. **2012**, *90* (25),7.

New Way to Hit Alzheimer's Target: Caspase-6 Inhibitor



An agent that inhibits the protease enzyme caspase-6 in a totally new way could help lead to a novel type of medication for Alzheimer's disease, a condition in which caspase-6 may play a key role....

Now, scientist and lab head Rami N. Hannoush of Genentech, in South San Francisco, and coworkers report having screened libraries of peptides on surfaces of bacteriophage viruses to identify a peptide called pep419 that inhibits caspase-6 by a novel mechanism (Nat. Chem. Biol., DOI: 10.1038/nchembio.967).

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Ritter, S. K. *Chemical & Engineering News*. **2012**, *90* (26), 9-10.

Recognizing Green Chemistry Achievements

Among the 2012 award winners are **Robert M. Waymouth of Stanford University and James L. Hedrick of IBM' s Almaden Research Center.** Waymouth and Hedrick received the Academic Award for their collaborative work to develop a family of organocatalysts for efficient metal-free synthesis of biodegradable polyesters and other polymers, which avoids environmentally problematic organotin catalysts.

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Chemical & Engineering News. **2012**, *90* (27), 37.

Facts & Figures of the Chemical Industry

Percent change in all chemical shipments in U.S. in 2011:
9.1

Percent change in chemical prices in U.S. in 2011:
11.6

Percent change in pharmaceutical shipments in U.S. in 2011:
–3.3

Percent change in chemical prices in Canada in 2011:
7.0

Highest-earning chemical companies:

Percent change in big pharma R&D spending in U.S. in 2011:
–3.3

Chemical production worker's weekly pay in U.S. in 2011:
$912.05

Percent change in exports of chemicals from China in 2011:
29.5

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Jarvis, L. M. *Chemical & Engineering News*. **2012**, *90* (27), 7.

Roche to Shutter New Jersey Labs

In a move that will reverberate through New Jersey's "pharmaceutical alley"—the state's hefty collection of big pharma labs—Roche says it will close operations in Nutley, N.J. The decision to shutter what for decades has served as the Swiss drug company's U.S. headquarters is expected to cost 1,000 people their jobs.

The Nutley site conducts research on oncology, virology, and inflammation. Oncology and virology activities will be transferred to facilities in Switzerland and Germany, where about 80 positions will be added. Inflammation research will be discontinued, although a few projects could be shifted to labs in Europe, a Roche spokeswoman says.

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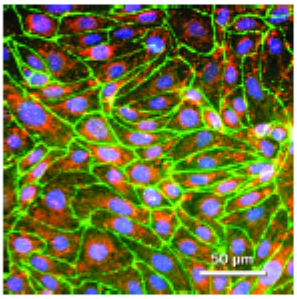
Wolf, L. K. *Chemical & Engineering News*. **2012**, *90* (27), 8.

Stem Cells Morph into Blood-Brain Barrier

For the first time, researchers have coaxed human stem cells to transform into crucial components of the blood-brain barrier (BBB), a defensive wall that protects the brain from blood-borne invaders such as bacteria (Nat. Biotechnol., DOI: 10.1038/nbt.2247). Mats of the tightly packed cells mimic the BBB in humans, the research team says, so they could be used in the future to screen neurological drug candidates.



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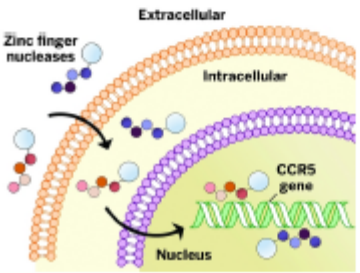
Borman, S. *Chemical & Engineering News*. **2012**, *90* (28), 6.

Zinc Finger Agents Enter Cells Solo



In ZFN-based gene therapy, genes for ZFNs are packaged inside viral vectors to be introduced into cells. The cells then express the proteins, which modify target genes. Two vector-delivered ZFNs are currently in clinical trials—**one of them modifies the gene for CCR5, a receptor HIV uses to infect immune cells.** But viral vectors often lead to overproduction of the introduced genes and DNA modifications at "off-target" sites, both of which can cause side effects.

No one thought ZFN proteins could penetrate cells directly. But a team of researchers noticed that ZFNs exhibit some characteristics of cell-permeating peptides, and now they find that ZFNs can enter cells by themselves (Nat. Methods, DOI: 10.1038/nmeth.2030).

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Borman, S. *Chemical & Engineering News*. **2012**, *90* (29), 11.

Bringing HIV Out of Hiding

"The synthesis of analogs of a bryostatin natural product could advance the eradication of AIDS by ferreting HIV out of its hiding places in immune cells....

Now, Jerome A. Zack, codirector of the UCLA AIDS Institute; Paul A. Wender, a synthetic organic chemist at Stanford University; and coworkers report the synthesis of promising analogs. In vitro tests show that the analogs, dubbed "bryologs, " are at least as potent as bryostatin 1 in activating dormant HIV (Nat. Chem., DOI: 10.1038/nchem.1395). They are also readily accessible by synthesis, easily modifiable, and seemingly nontoxic. Studies of the bryologs in an animal model are in progress."

There are some pretty cool quotes from Douglas Richman, Warner Greene and Erick Carreira, too! Check it out!

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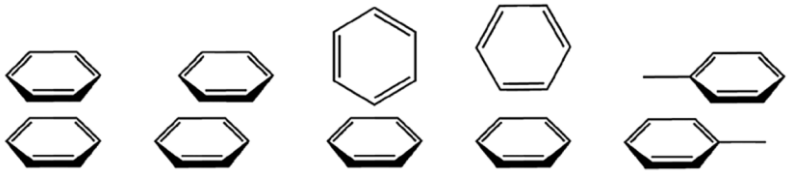
Citation: C. R. Martinez, B. L. Iverson, *Chem. Sci.* **2012**, *3* (7), 2191

Rethinking the term "pi-stacking"
Experimental and theoretical literature across several fields is reviewed and it was found that the terms "pi-stacking" and "pi–pi interactions" do not accurately describe the forces that drive association between aromatic molecules of the types most commonly studied in chemistry or biology laboratories. Herein a critical review is presented.



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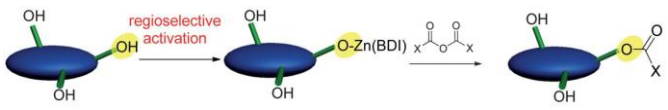
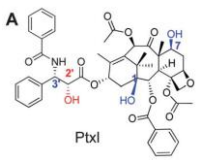
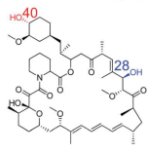
Citation: R. Tong, J. Cheng, *Chem. Sci.* **2012**, *3* (7), 2234

Zinc complex mediated regioselective O-acylation of therapeutic agents
Several Zn ?-diiminate reagents were developed and used to mediate regioselective O-acylation reactions of therapeutic agents with anhydrides. Various prodrugs were obtained in excellent yield and high regioselectivity, including derivatives of rapamycin and paclitaxel. Furthermore, the application of regioselective acylation was extended to one-pot reactions between therapeutics and carboxylic acids with various pendant functional groups. This rapid functionalization strategy will find application in either prodrug synthesis or bioconjugation for drug delivery







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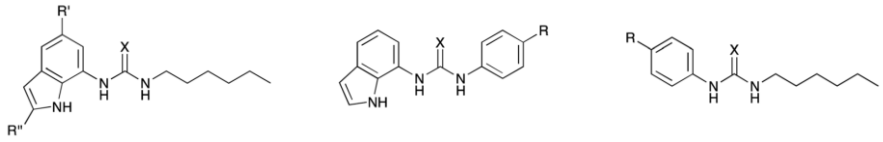
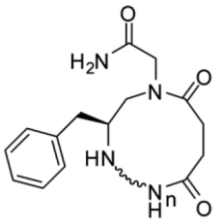
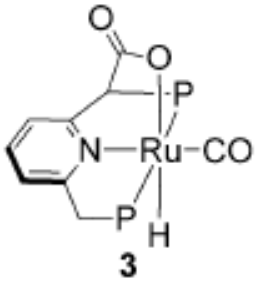
Citation: S. J. Moore, M. Wenzel, M. E. Light, R. Morley, S. J. Bradberry, P. Gómez-Iglesias, V. Soto-Cerrato, R. Pérez-Tomás, P. A. Gale, *Chem. Sci.* **2012**, *3* (8), 2501	
Towards "drug-like" indole-based transmembrane anion transporters A series of mono-ureas and mono-thioureas, some incorporating a trifluoromethyl group, have been synthesised and their ability to facilitate ion transport assessed using a combination of ion selective electrode and fluorescence techniques. Chloride/nitrate and chloride/bicarbonate antiport and HCl symport processes were examined using phospholipid vesicles as a model system. In general, the trifluoromethyl functionalised receptors showed greater transport activity than unfluorinated analogous systems, corresponding with increased clogP. The most active transporter facilitated chloride efflux from phospholipid vesicles at receptor to lipid ratios as low as 1 : 20 000. In addition, in vitro fluorescence and viability assays indicated that the most potent anion transporters induced apoptosis in human cancer cell lines 	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: I. P. Andrews, O. Kwon, *Chem. Sci.* **2012**, *3* (8), 2510	
Design and synthesis of unprecedented cyclic γ-AApeptides for antimicrobial development Antimicrobial drug resistance is one of the greatest threats facing mankind. [...] Our results demonstrate the potential of cyclic ?-AApeptides as a new class of antibiotics to circumvent drug resistance by mimicking the bactericidal mechanism of AMPs. Meanwhile, the facile synthesis of cyclic ?-AApeptides may further expand the applications of ?-AApeptides in biomedical sciences. 	bioorganic methods synthesis mechanism review other OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Yin, W.; *et al*. *Chem. Eur. J*., **2012**, *18*, 9239-9245.	
Enhanced Red Emission from GdF3:Yb3+,Er3+ Upconversion Nanocrystals by Li+ doping and Their Application for Bioimaging  Figure 8. Visual digital images in an anaesthetized mouse after injection of GdF_3:Yb,Er,Li (25 mol%) (25 mol%)/silica UCNP solution into a) foot, b) back, and c) thigh muscle under excitation by 980 nm NIR laser. A new gadolinium based imaging agent is developed and tested. this same agent may also be useful for T1 based MRI studies.	**bioorganic** methods synthesis mechanism review other OM Bryo DDO Hybrid **Drug Deliv.** Prostratin

Citation: Vogt, M. *et al*. *Chem. Eur. J,* **2012**, *18*, 9194-9197.

A New Mode of Activation of CO_2 by Metal-Ligand Cooperation with Reversible C-C and M-O Bond Formation at Ambient Temperature



3

Using the a ruthenium complex with an attached phosphorus based pincer ligand, this group demonstrates a CO_2 activation that goes through a dearomatized form of the attached ligand. They back up this with X-ray crystallographic information as well as DFT studies. They are currently looking into uses of this chemistry.

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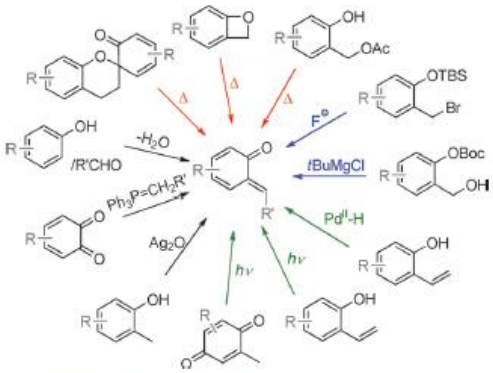
Citation: Willis, N.J.; Bray, C.D. *Chem. Eur. J*., **2012,** *18*, 9160-9173

***ortho*-Quinone Methides in Natural Product Synthesis**



Scheme 2. Methods of forming an *o*-QM.

A review of the use of *ortho*-quinone methides in natural product synthesis. There are a wide variety of natural products synthesized. An interesting read.

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Hybrid
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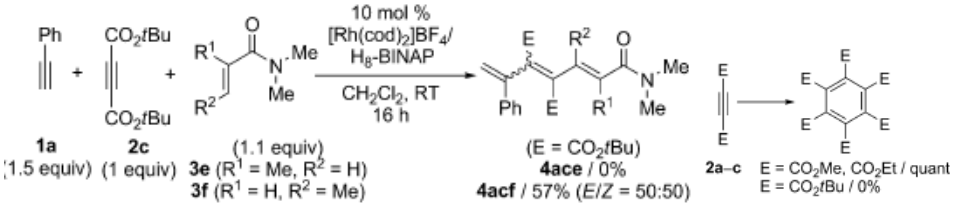
Citation: Kobayahshi, M.; Tanaka, K. *Chem. Eur. J*., **2012**, *18*, 9225-9229.

Rhodium-Catalyzed Linear Cross-Trimerization of Two Different Alkynes with an Alkene and Two Different Alkenes with an Alkyne



1a (1.5 equiv) **2c** (1 equiv) (1.1 equiv) **3e** (R^1 = Me, R^2 = H) **3f** (R^1 = H, R^2 = Me)

4ace / 0% **4acf** / 57% (*E*/*Z* = 50:50)

Scheme 2. Rh-catalyzed reactions of **1a**, **2c**, and substituted acrylamides **3e** and **f**.

This looks like it could be an important side reaction we may be encountering, or, if not, may lead to some insight into how to make compounds like radialene that we have talked about in the OM subgroup meetings.

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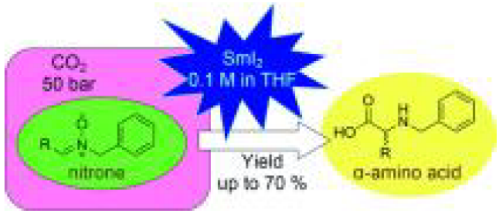
Citation: Prikhod'ko, A.; Walter, O.; Zevaco, T.; Garcia-Rodriguez, J.; Mouhtady, O.; Py, S. *Euro. J. Org. Chem.* **2012**, 3742-3746.

Synthesis of α-Amino Acids through Samarium(II) Iodide Promoted Reductive Coupling of Nitrones with CO_2



Is there anything SmI_2 doesn't do? Here is a nifty way to access non-natural (and natural, I suppose) amino acids.

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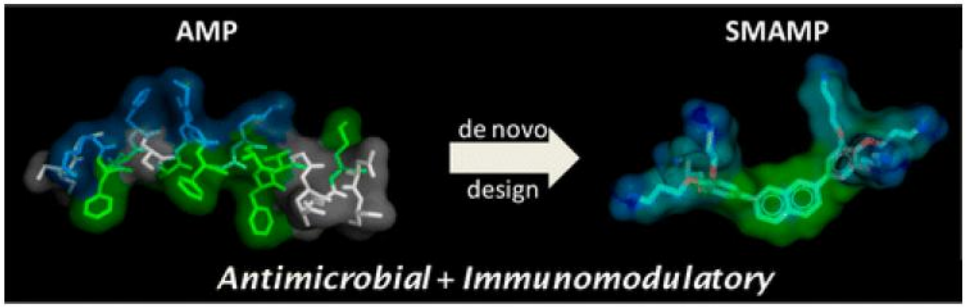
Citation: Hitesh, T. D. J. Am. Chem. Soc. 2012, 134, 11088–11091.

Synthetic Mimics of Antimicrobial Peptides with Immunomodulatory Responses



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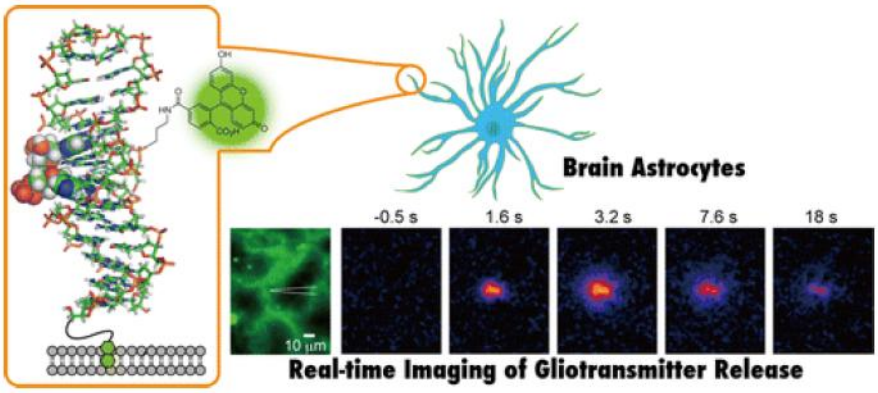
Citation: Tokunaga, T. J. Am. Chem. Soc. 2012, 134, 9561–9564.

Cell Surface-Anchored Fluorescent Aptamer Sensor Enables Imaging of Chemical Transmitter Dynamics



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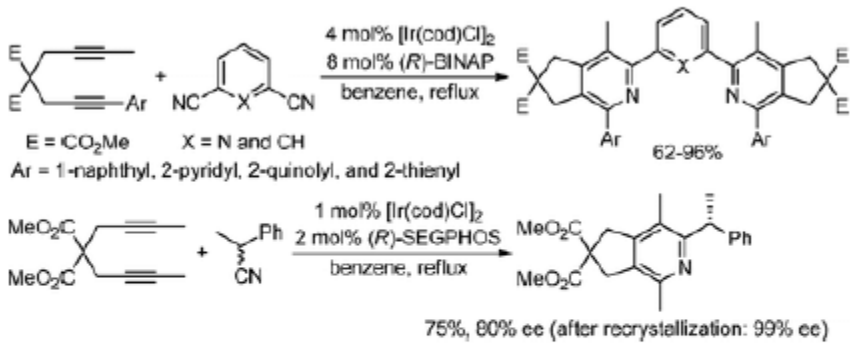
Citation: Onodera, G. et al. J. Am. Chem. Soc. 2012, 134, 10515–10531.

Iridium-Catalyzed [2 + 2 + 2] Cycloaddition of Diynes with Nitriles



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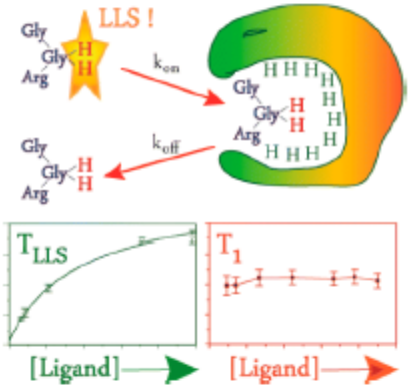
Citation: Nicola, S. et al.. J. Am. Chem. Soc. 2012, 134, 11076–11079.

Boosting the Sensitivity of Ligand–Protein Screening by NMR of Long-Lived States



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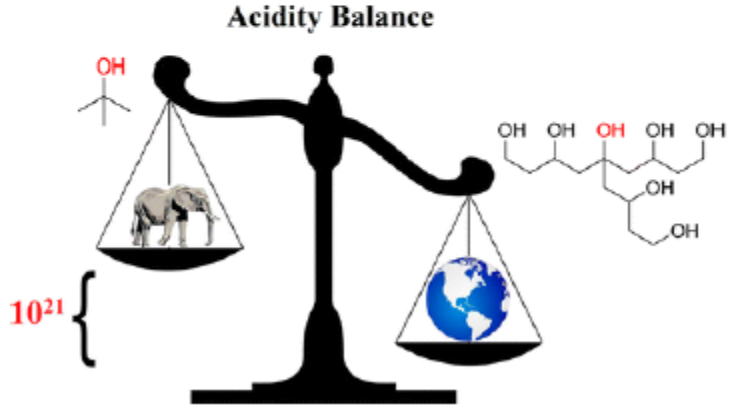
Citation: Shokri, A.; Abedin, A.; Fattahi, A.; Kass, S. R. J. Am. Chem. Soc. 2012, 134, 10646–10650.

Effect of Hydrogen Bonds on pKa Values: Importance of Networking



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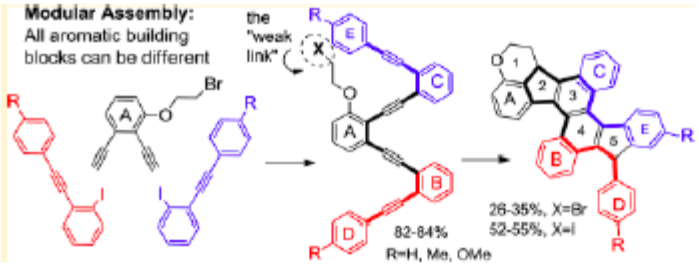
Citation: Byers, P. M.; Alabugin, I. V. J. Am. Chem. Soc. 2012, 134, 9609–9614.

Polyaromatic Ribbons from Oligo-Alkynes via Selective Radical Cascade: Stitching Aromatic Rings with Polyacetylene Bridges



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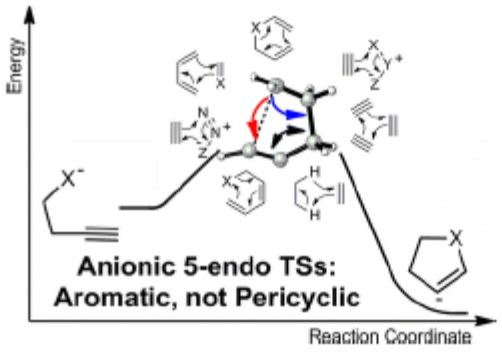
Citation: Gilmore, K. et al. J. Am. Chem. Soc. 2012, 134, 10584–10594.

Aromatic Transition States in Nonpericyclic Reactions: Anionic 5-Endo Cyclizations Are Aborted Sigmatropic Shifts



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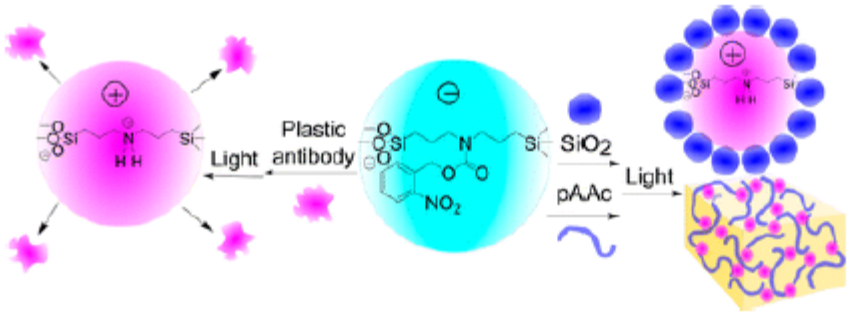
Citation: Hu, L.-C. et al. J. Am. Chem. Soc. 2012, 134, 11072–11075.

Light-Triggered Charge Reversal of Organic–Silica Hybrid Nanoparticles



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Hybrid
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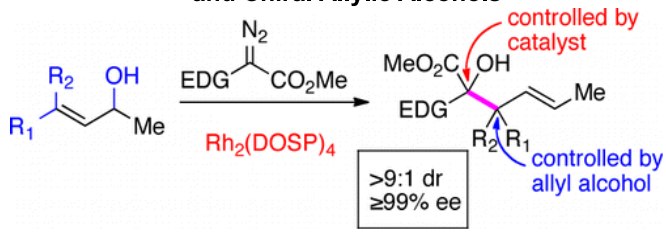
Citation: Li, Z.; Parr, B.T.; Davies, H.M.L. J. Am. Chem. Soc., 2012, 134 (26), pp 10942–10946

Highly Stereoselective C–C Bond Formation by Rhodium-Catalyzed Tandem Ylide Formation/[2,3]-Sigmatropic Rearrangement between Donor/Acceptor Carbenoids and Chiral Allylic Alcohols



The tandem ylide formation/[2,3]-sigmatropic rearrangement between donor/acceptor rhodium carbenoids and chiral allyl alcohols is a convergent C–C bond forming process, which generates two vicinal stereogenic centers. Any of the four possible stereoisomers can be selectively synthesized by appropriate combination of the chiral catalyst $Rh_2(DOSP)_4$ and the chiral alcohol.

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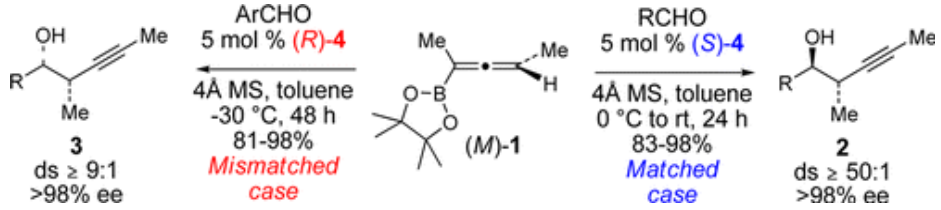
Citation: Chen, M.; Roush, W.R. J. Am. Chem. Soc., 2012, 134 (26), pp 10947–10952

Enantioselective Synthesis of anti- and syn-Homopropargyl Alcohols via Chiral Brønsted Acid Catalyzed Asymmetric Allenylboration Reactions



Chiral Brønsted acid catalyzed asymmetric allenylboration reactions are described. Under optimized conditions, anti-homopropargyl alcohols 2 are obtained in high yields with excellent diastereo- and enantioselectivities from stereochemically matched aldehyde allenylboration reactions with (M)-1 catalyzed by the chiral phosphoric acid (S)-4.

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Drug Deliv.
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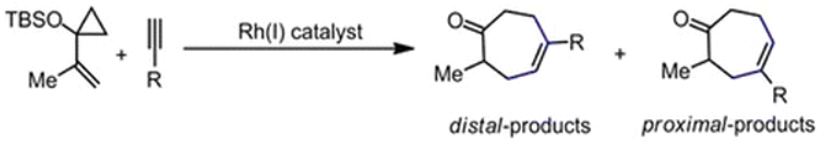
Citation: Xu, X.; Liu, P.; Lesser, A.; Sirois, L.E.; Wender, P.A.; Houk, K.N. J. Am. Chem. Soc., 2012, 134 (26), pp 11012–11025

Ligand Effects on Rates and Regioselectivities of Rh(I)-Catalyzed (5 + 2) Cycloadditions: A Computational Study of Cyclooctadiene and Dinaphthocyclooctatetraene as Ligands



The computational studies reveal the potential of employing the dnCOT family of ligands to achieve unique regiochemical control due to the steric influences and dispersion interactions associated with the rigid aryl substituents on the ligand.

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Drug Deliv.
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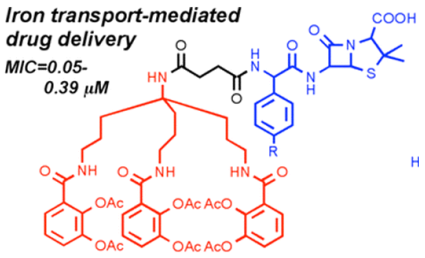
Citation: Ji, C.; Miller, P.A.; Miller, M.J. J. Am. Chem. Soc., 2012, 134 (24), pp 9898–9901

Iron Transport-Mediated Drug Delivery: Practical Syntheses and In Vitro Antibacterial Studies of Tris-Catecholate Siderophore–Aminopenicillin Conjugates Reveals Selectively Potent Antipseudomonal Activity



An artificial tris-catecolate siderophore with a tripodal backbone and its conjugates with ampicillin and amoxicillin were synthesized. Both conjugates exhibited significantly enhanced in vitro antibacterial activities against Gram-negative species compared to the parent drugs, especially against Pseudomonas aeruginosa. The conjugates appeared to be assimilated by an induced bacterial iron transport process as their activities were inversely related to iron concentration.

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Gnid/ Kirk
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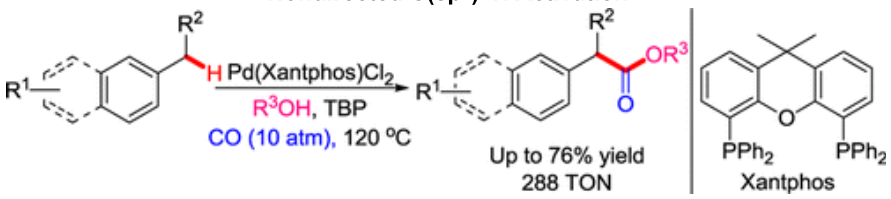
Citation: Xie, P.; Xie, Y.; Qian, B.; Zhou, H.; Xia, C.; Huang, H. J. Am. Chem. Soc., 2012, 134 (24), pp 9902–9905

Palladium-Catalyzed Oxidative Carbonylation of Benzylic C–H Bonds via Nondirected C(sp^3)–H Activation



A new strategy for generating benzylpalladium reactive species from toluenes via nondirected C(sp^3)–H activation has been developed. This led to construction of an efficient Pd-catalyzed reaction protocol for the oxidative carboxylation of benzylic C–H bonds to form substituted 2-phenylacetic acid esters and derivatives from inexpensive, commercially available starting materials.

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Laulimalide
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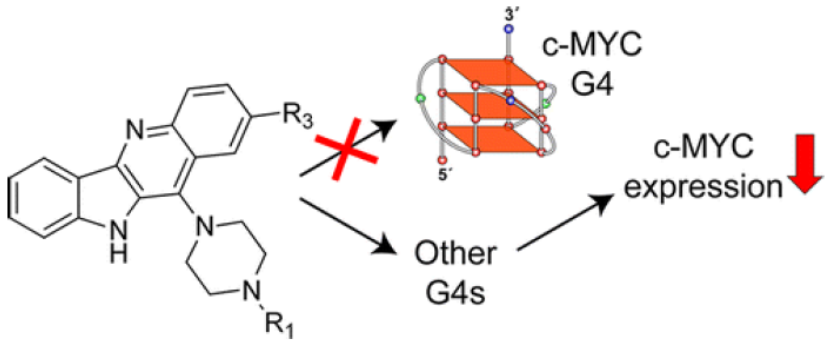
Citation: Boddupally, P. V.; et al. *J. Med. Chem.* **2012**, *55*, 6076-6086.

"Anticancer Activity and Cellular Repression of c-MYC by the G-Quadruplex-Stabilizing 11-Piperazinylquindoline Is Not Dependent on Direct Targeting of the G-Quadruplex in the c-MYC Promoter"



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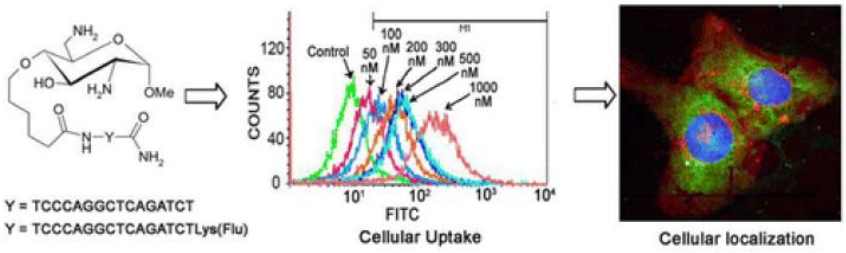
Citation: Das, I.; et. al. *J. Med. Chem.* **2012**, *55*, 6021-6032.

"A Peptide Nucleic Acid–Aminosugar Conjugate Targeting Transactivation Response Element of HIV-1 RNA Genome Shows a High Bioavailability in Human Cells and Strongly Inhibits Tat-Mediated Transactivation of HIV-1 Transcription"



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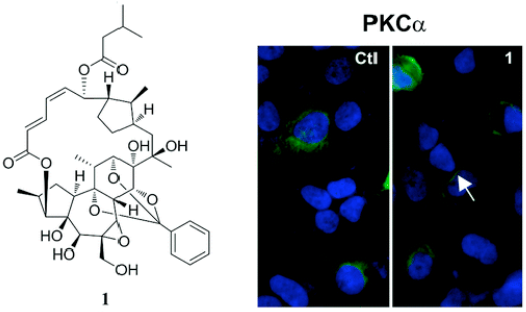
Citation: Cui, L.; Li, J.; Xie, W., *J. Nat. Prod.* **2012**, 75, 1058-1062.

Rediocide A, an Insecticide, Induces G-Protein-Coupled Receptor Desensitization via Activation of Conventional PKC



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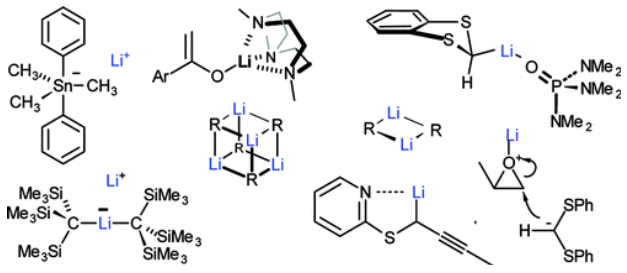
Citation: Reich, H.J. *J. Org. Chem.*, **2012**, *77* (13), 5471-5491.

What's Going on with These Lithium Reagents?

Organolithium reagents have long played an important role in organic chemistry. Understanding lithium reagent behavior is not an easy task; since many are mixtures of aggregates, various solvates are present, and frequently new mixed aggregates are formed during their reactions with electrophiles.



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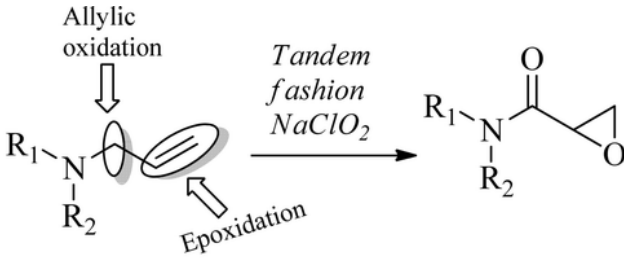
Citation: Fuentes, L.; Osorio, U.; Quintero, L.; Hopfl, H.; Vazquez-Cabrera, N.; Sartillo-Piscil, F. *J. Org. Chem.*, **2012**, *77* (13), 5515-5524.

Direct Chemical Method for Preparing 2,3-Epoxyamides Using Sodium Chlorite



R_1 and R_2 = Alkyl, allyl and benzyl

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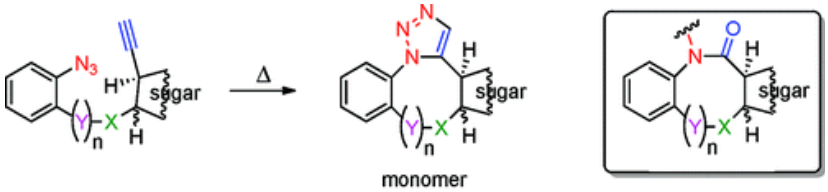
Citation: Adhikary, N.D.; Chattopadhyay, P. *J. Org. Chem.*, **2012**, *77* (12), 5399-5405.

Design and Synthesis of 1,2,3-Triazole-Fused Chiral Medium-Ring Benzo-Heterocycles, Scaffolds Mimicking Benzolactams

Based on "amide-triazole bioequivalence" principle, 1,2,3-triazole-fused chiral medium ring benzo-heterocycles capable of mimicking benzolactams were designed. Their syntheses were accomplished by cycloaddition of different sugar-derived azidoalkynes.



X = O/N(CH_3), Y = CH_2/CO
n = 0, 1

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DDO
Hybrid
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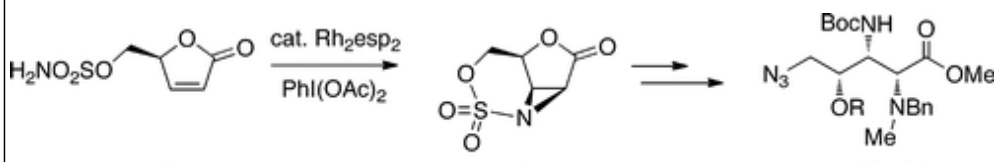
Prostratin

Citation: Valle, M.S.; Saraiva, M.F.; Retailleau, P.; de Almeida, M.V.; Dodd, R.H. *J. Org. Chem.*, **2012**, *77* (13), 5592-5599.

Rhodium-Catalyzed Intramolecular Formation of N-Sulfamoyl 2,3-Aziridino-γ-lactones and Their Use for the Enantiospecific Synthesis of a,ß-Diamino Acid Derivatives



5 **6** **15, 16**

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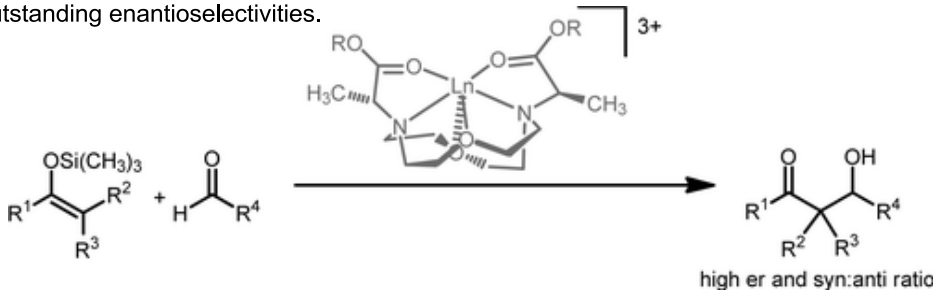
DDO
Hybrid
Drug Deliv.
Prostratin

Citation: Mei, Y.; Averill, D.J.; Allen, M.J. *J. Org. Chem.*, **2012**, *77* (13), 5624-5632.

Study of the Lanthanide-Catalyzed, Aqueous, Asymmetric Mukaiyama Aldol Reaction

The development of efficient methods for the asymmetric Mukaiyama aldol reaction in aqueous solution has received great attention. We have developed a new series of chiral lanthanide-containing complexes that produce Mukaiyama aldol products with outstanding enantioselectivities.

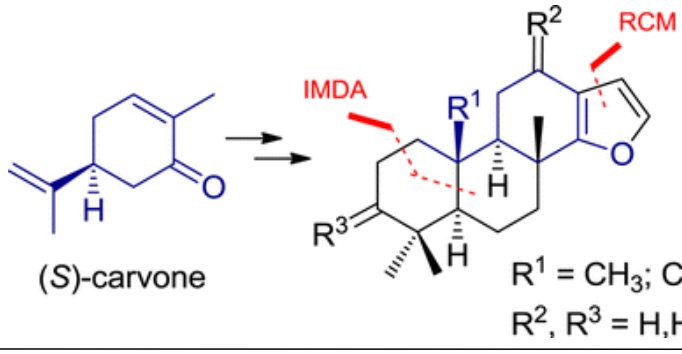


bioorganic **methods** **synthesis** **mechanism** review other

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Gris, A.; Cabedo, N.; Navarro, I.; de Alfonso, I.; Agullo, C.; Abad-Somovilla, A. *J. Org. Chem.*, **2012**, *77* (13), 5664-5680.

General Diastereoselective Synthetic Approach toward Isospongian Diterpenes. Synthesis of (-)-Marginatafuran, (-)-Marginatone, and (-)-20-Acetoxymarginatone



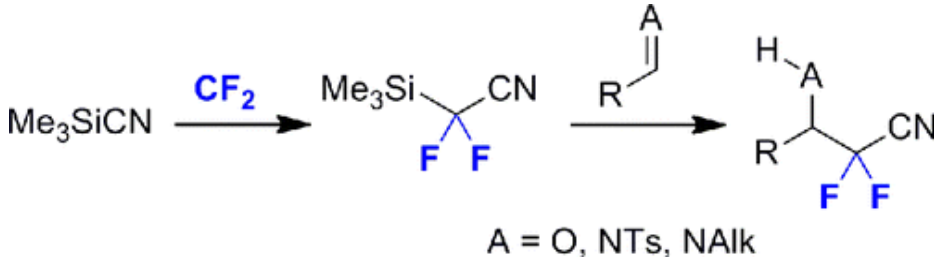
bioorganic methods **synthesis** mechanism review other

OM Bryo **DDO** Hybrid Drug Deliv. Prostratin

Citation: Kosobokov, M.D.; Dilman, A.D.; Levin, V.V.; Struchkova, M.I. *J. Org. Chem.*, **2012**, *77* (13), 5850-5855.

Difluoro(trimethylsilyl)acetonitrile: Synthesis and Fluoroalkylation Reactions

A new silicon reagent, difluoro(trimethylsilyl)acetonitrile, was prepared by insertion of difluorocarbene into silyl cyanide. The obtained silane served as a good cyanodifluoromethylating reagent toward aldehydes, N-tosylimines, N-alkylimines, and enamines under basic or acidic conditions.



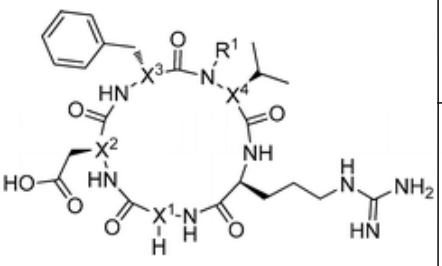
bioorganic **methods** **synthesis** mechanism review **other**

OM Bryo DDO Hybrid Drug Deliv. Prostratin

Citation: Spiegel, J.; Mas-Moruno, C.; Kessler, H.; Lubell, W.D. *J. Org. Chem.*, **2012**, *77* (12), 5271-5278.

Cyclic Aza-peptide Integrin Ligand Synthesis and Biological Activity

Aza-peptides are obtained by replacement of the a-C-atom of one or more amino acids by a nitrogen atom in a peptide sequence. Introduction of aza-residues into peptide sequences may result in unique structural and pharmacological properties, such that aza-scanning may be used to probe structure–activity relationships. In this study, a general approach for the synthesis of cyclic aza-peptides was developed by modification of strategies for linear aza-peptide synthesis and applied in the preparation of cyclic aza-pentapeptides containing the RGD (Arg-Gly-Asp) sequence.



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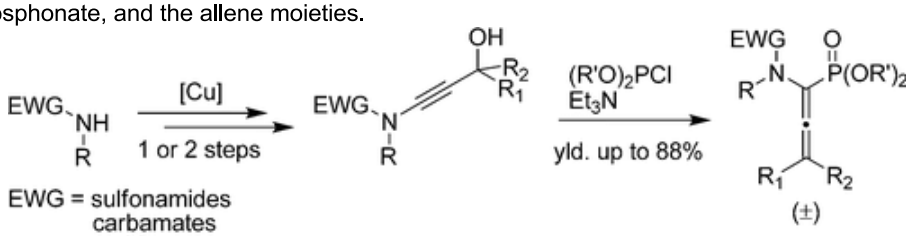
Citation: Gomes, F.; Fadel, A.; Rabasso, N. *J. Org. Chem.*, **2012**, *77* (12), 5439-5444.

[2,3]-Sigmatropic Rearrangement of Ynamides: Preparation of α-Amino Allenephosphonates

α-Amino allenephosphonates were easily prepared in two steps from protected amines, propargyl alcohols, and chlorophosphites. This efficient method led to the formation of a series of α-amino allenephosphonates with diverse substituents on the amine, the phosphonate, and the allene moieties.



EWG = sulfonamides
carbamates
amides

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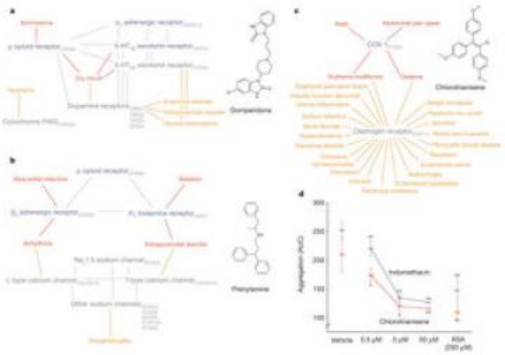
Citation: Lounkine, E. *et al. Nature* **2012**, *486*, 361.

Large-scale prediction and testing of drug activity on side-effect targets



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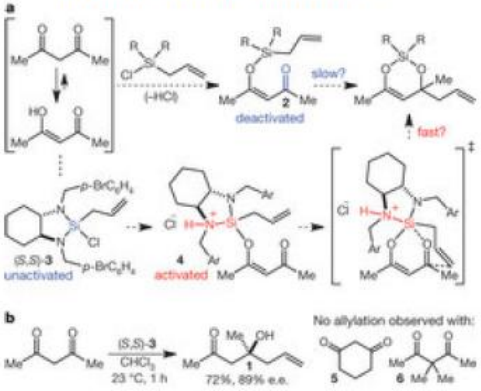
Citation: Chalifoux, W.A.; Reznik, S.K.; Leighton, J.L. *Nature* **2012**, *487*, 86.

Direct and highly regioselective and enantioselective allylation of β-diketones



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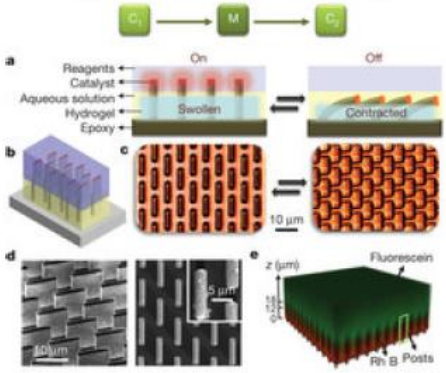
Citation: He, X.; Aizenberg, M.; Kuksenok, O.; Zarzar, L.D.; Shastri, A.; Balazs, A.C.; Aizenberg, J. *Nature* **2012**, *487*, 214.

Synthetic homeostatic materials with chem-mechano-chemical self-regulation



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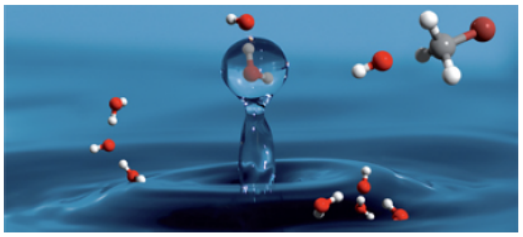
Citation: Otto, R.; Brox, J.; Trippel, S.; Stei, M.; Best, T.; Wester, R. *Nat. Chem.* **2012**, *4*,534-538.

Single solvent molecules can affect the dynamics of substitution reactions



Pretty cool article that shows how just one solvent molecule can affect the mechanism for nucleophilic substitution (a mechanism we thought we had down pat!). We all know how important solvation is, and this article confirms how the microenvironment around a reaction can greatly impact the outcome.

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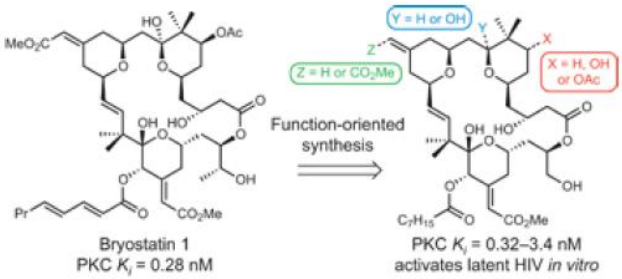
Citation: DeChristopher, B.A.; Loy, B.A.; Marsden, M.D.; Schier, A.J.; Zack, J.A.; Wender, P.A. *Nat. Chem.* **2012** *AOP DOI:*10.1038/NCHEM.1395

Designed, synthetically accessible bryostatin analogues potently induce activation of latent HIV reservoirs in vitro

Hopefully you've all seen this one!



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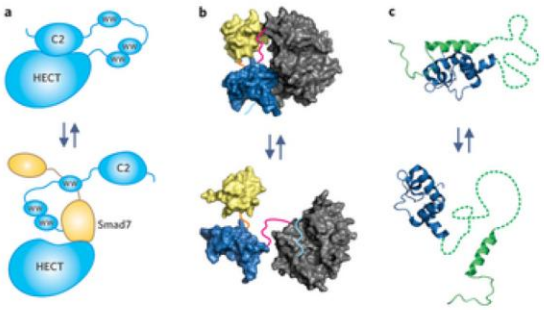
Citation: Tompa, P. *Nat. Chem. Bio.* **2012**, *8*, 597-600.

"On the supertertiary structure of proteins"

Intrinsically disordered proteins and complex multidomain proteins are characterized by a dynamic ensemble of conformations that cannot be unequivocally described by traditional static terms of structural biology. The functional importance of this structural complexity necessitates new standards and protocols for the description and deposition of such 'supertertiary' structural ensembles into structural databases.



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Citation: http://well.blogs.nytimes.com/2012/07/16/new-cancer-threat-lurks-long-after-cure/?ref=science

New Cancer Threat Lurks Long After Cure

With equal measures of courage and fear, Ms. Roberts, an anchor of the show and a breast cancer survivor, explained that the life-saving treatment she received five years ago was responsible for a new diagnosis, this time myelodysplastic syndrome (MDS), a rare blood and bone marrow disease once called preleukemia.

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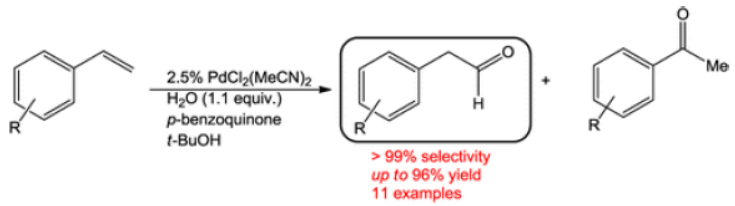
Teo, P.; Wickens, Z. K.; Dong, G.; Grubbs, R. H. *Org. Lett.* **2012**, *14*, 3237-3239.

Efficient and Highly Aldehyde Selective Wacker Oxidation



A method for efficient and aldehyde-selective Wacker oxidation of aryl-substituted olefins using $PdCl_2(MeCN)_2$, 1,4-benzoquinone, and *t*-BuOH in air is described. Up to a 96% yield of aldehyde can be obtained, and up to 99% selectivity can be achieved with styrene-related substrates.

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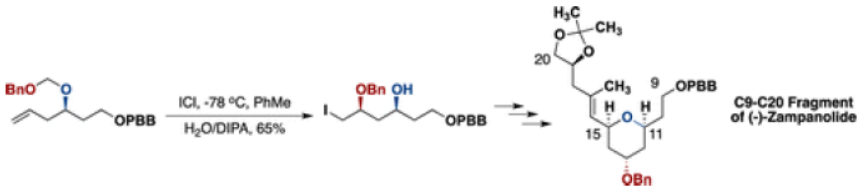
Wilson, M. R.; Tayler, R. E. *Org. Lett.* **2012**, *14*, 3408-3411.

Toward and Enantioselective Synthesis of (-)-Zampanolide: Preparation of the C9-C20 Region



Progress toward the synthesis of the microtubule-stabilizing agent, (-)-zampanolide, is reported. Construction of the 2,6-*cis*-tetrahydropyran ring was accomplished utilizing *ether transfer* methodology in conjunction with an intramolecular radical cyclization reaction. Efficient installation of the C16–C20 side chain relied on a one-pot cross-metathesis/olefination sequence, Sharpless epoxidation, and selective reduction of a vinyl epoxide.

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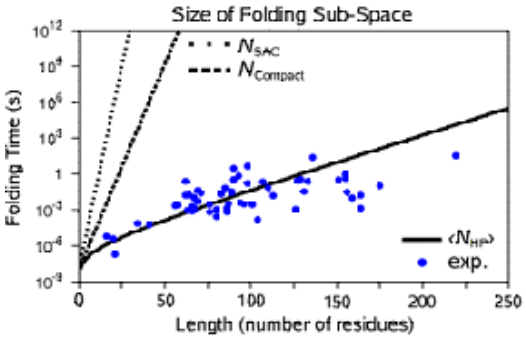
Citation: Lin, M. M.; Zewail, A. H. *Proc. Nat. Acad. Sci.* **2012**, *109* (25), 9851.

Hydrophobic forces and the length limit of foldable protein domains



To find the native conformation (fold), proteins sample a subspace that is typically hundreds of orders of magnitude smaller than their full conformational space. The authors showed that the constraints associated with hydrophobic forces are themselves sufficient to reduce the effective conformational space to a size compatible with the folding of proteins up to approximately 200 amino acids long within a biologically reasonable amount of time.

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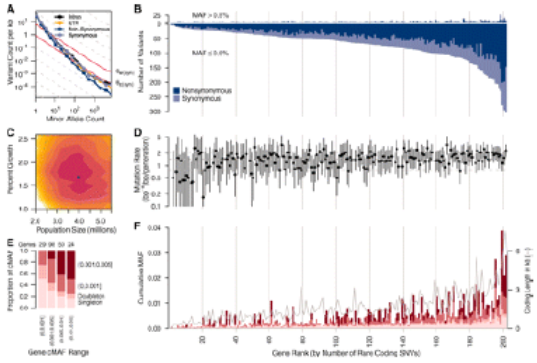
Citation: Nelson, M.R. *Science*, **2012**, *337*, 100.

An abundance of rare functional variants in 202 drug target genes sequenced in 14,002 people



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Citation: *Science* **2012**, *337*, issue 6091.

HIV/AIDS in AMERICA

Special about HIV/AIDS in America, check it out for stats, etc. if you're on pro/bryo

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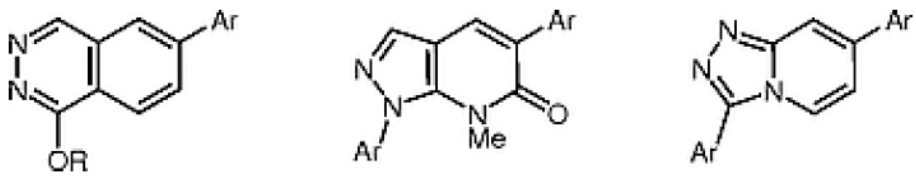
Citation: Thiel, O. R.; Achmatowicz, M.; Milburn, R. M., *Syn. Lett.* **2012**, 1564-1574.

Process Research and Development for Heterocyclic p38 MAP kinase Inhibitors



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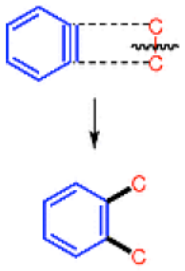
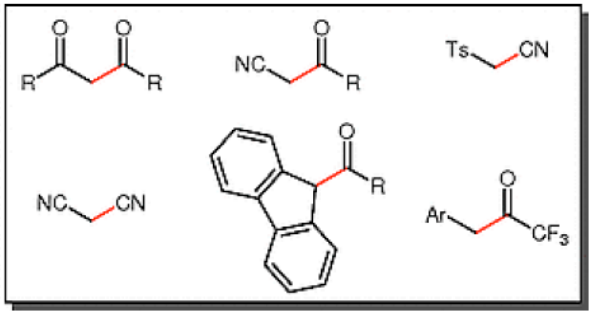
Citation: Yoshida, H.; Takaki, K., *Syn. Lett.* **2012**, 1725-1732.

Aryne Insertion Reactions into Carbon-Carbon sigma-bonds





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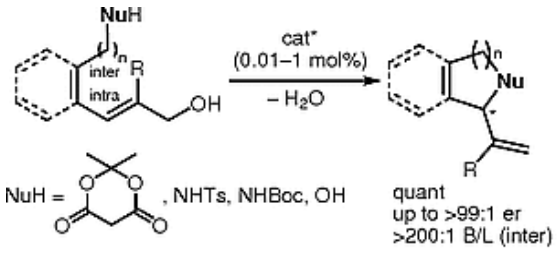
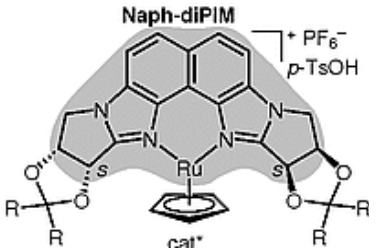
Citation: Miyata, K.; Kitamura, M. *Synthesis* **2012**, *44*(14), 2138.

Asymmetric Dehydrative C-, N-, and O-Allylation Using Naph-diPIM-dioxo-i-Pr-CpRu/p-TsOH Combined Catalyst





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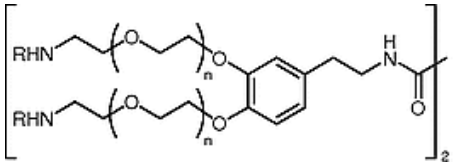
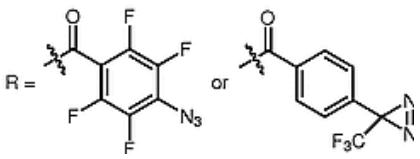
Citation: Welle, A.; Billard, F.; Marchand-Brynaert, J. *Synthesis* **2012**, *44*(14), 2249.

Tri- and Tetravalent Photoactivable Cross-Linking Agents





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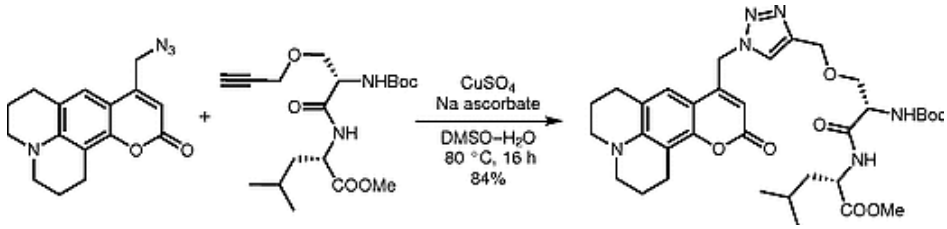
Citation: Wirtz, L.; Auerbach, D.; Jung, G.; Kazmaier, U. *Synthesis* **2012**, *44*(13), 2005.

Fluorescence Labeling of Amino Acids and Peptides with 7-Aminocoumarins



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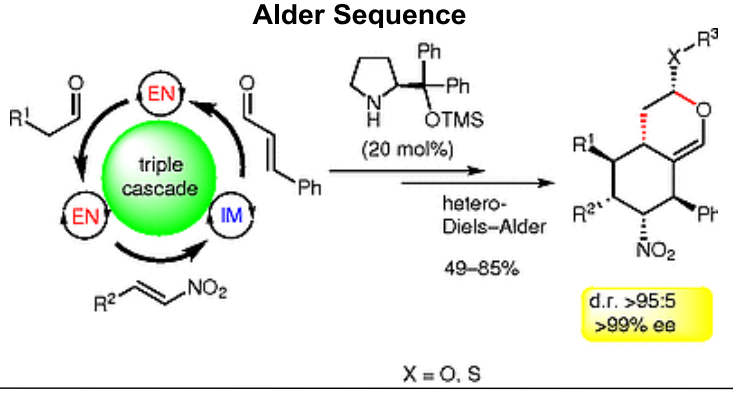
Citation: Erdmann, N.; Atodiresei, I.; Enders, D. *Synthesis* **2012**, *44*(13), 2107.

Asymmetric Synthesis of 3-Substituted Hexahydro-3H-isochromenes via an Organocatalytic Triple Cascade/Yb-Catalyzed Hetero-Diels-Alder Sequence



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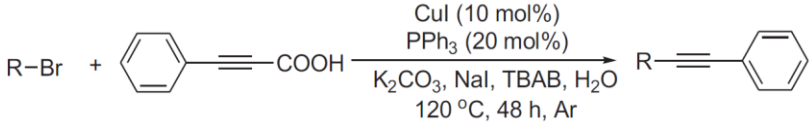
Citation: T. Li, P. Sun, H. Yang, Y. Zhu, H. Yan, L. Lu, J. Mao, *Tetrahedron* **2012**, *68* (32), 6413

Copper-catalyzed decarboxylative coupling of aryl halides with alkynyl carboxylic acids performed in water

Most alkynes are volatile liquids, which are relatively difficult to use and to transport. In contrast, alkynyl carboxylic acids offer a stable and attractive alternative for the alkynylation reactions. Here, we employed alkynyl carboxylic acids as reaction partners for the alkynylation of aryl halides. Copper-catalyzed decarboxylative coupling, including various challenging aryl bromides with phenylpropiolic acid, was performed in water without using co-solvents with good yields. Our approach provides a low-loading, low-cost, stable and environmentally friendly copper catalyst system for decarboxylative coupling.



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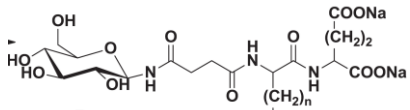
Prostratin

Citation: A. S. Abdelrahim, P. Simerska, I. Toth, *Tetrahedron* **2012**, *68* (25), 4967

Liposaccharide-based nanoparticulate drug delivery system

A series of anionic liposaccharide derivatives were synthesized in order to develop a system, which would have the capacity to act as an absorption enhancer and to improve oral bioavailability of drugs. The addition of a liposaccharide to a drug enhances drug stability against enzymatic degradation, while the lipophilicity can be controlled by variation of the lipid side chain. All liposaccharide derivatives were purified and fully characterized by nuclear magnetic resonance and high-resolution mass spectrometry. The thermodynamic profiles, critical aggregation concentrations and size of the synthesized liposaccharides were determined by isothermal titration microcalorimetry, transmission electron microscopy and dynamic light scattering. These liposaccharides formed nanoparticles with sizes below 100 nm.



7a: GlcC8Glu, n=5
7b: GlcC10Glu, n=7
7c: GlcC12Glu, n=9
7d: GlcC14Glu, n=11

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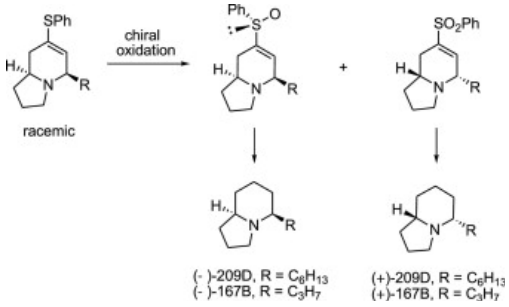
Citation: S.-S. P. Chou, C.-J. J. Wu, *Tetrahedron* **2012**, *68* (25), 5025

Chiral synthesis of indolizidines 209D and 167B via asymmetric oxidation of sulfides and sulfoxides

Chiral synthesis of indolizidine natural products (-)-209D and (-)-167B, as well as their antipodes, has been achieved through asymmetric oxidation of racemic thio-substituted indolizidines to the chiral sulfoxides and sulfones followed by Raney nickel reduction.



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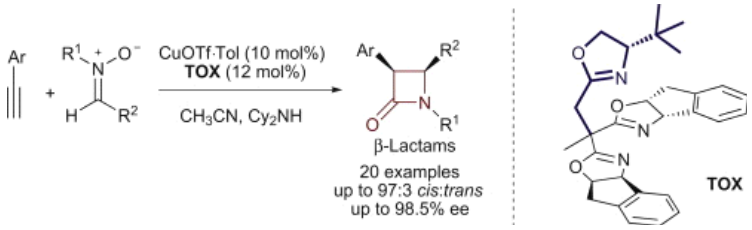
Citation: J.-H. Chen, S.-H. Liao, X.-L. Sun, Q. Shen, Y. Tang, *Tetrahedron* **2012**, *68* (25), 5042

Tris(oxazoline)/copper-catalyzed coupling of alkynes with nitrones: a highly enantio-
selective access to β-lactams

Chiral tris(oxazoline)/Cu(I) complexes are demonstrated as a type of efficient catalysts for the asymmetric Kinugasa reaction of terminal alkynes with C-arylnitrones, providing a highly enantio- and diastereoselective access to optically active ?-lactams.



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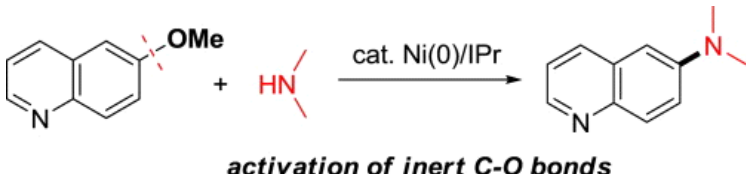
Citation:
M. Tobisu, A. Yasutome, K. Yamakawa, T. Shimasaki, N. Chatani, *Tetrahedron* **2012**, *68* (26), 5157

Ni(0)/NHC-catalyzed amination of N-heteroaryl methyl ethers through the cleavage of carbon-oxygen bonds

Ni(0)/NHC-based catalyst system can promote the amination of N-heteroaryl methyl ethers via the cleavage of normally unreactive carbon–oxygen bonds. Electron-deficient N-heteroarenes including pyridine, quinoline, isoquinoline, and quinoxaline undergo amination to afford aminopyridine and related heteroarenes, which constitute an important class of compounds.



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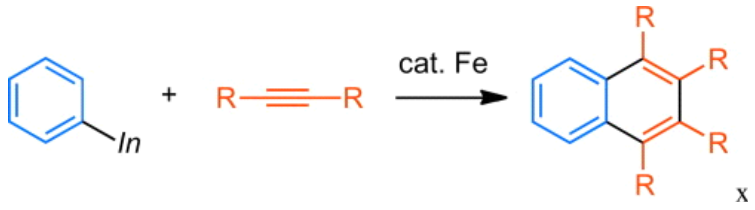
Citation: L. Adak, N. Yoshikai, *Tetrahedron* **2012**, *68* (26), 5167

Iron-catalyzed annulation reaction of arylindium reagents and alkynes to produce substituted naphthalenes

We report here an iron–bisphosphine complex-catalyzed annulation reaction of an arylindium reagent and two alkyne molecules that affords a substituted naphthalene derivative in moderate to good yield. The reaction represents a new example of iron-catalyzed C–C bond forming reactions via C–H bond functionalization.



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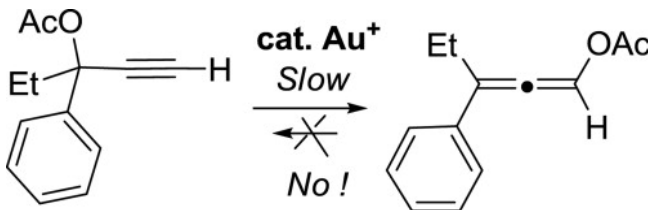
Citation: M. Asikainen, S. Woodward, *Tetrahedron* **2012**, *68* (27-28), 5492

Allenyl ester precursors for 1H-inden-1-ol carboxylates: comparisons with their propargylic equivalents having terminal alkyne functions

The reactivity of allenyl carboxylates, Ar(R1)CCCH(O2CR2) and their isomeric equivalents the terminal propargylic carboxylates, ArC(R1)(O2CR2)CCH, in gold-catalyzed carbocyclization to indenes provides information on 1,3 and 1,2-carboxylate shifts associated with their interconversion. Allenyl carboxylates transform specifically to 1H-inden-1-yl carboxylates in high yields, under AuI-catalysis. Their equivalent propargylic carboxylates give complex mixtures of indene isomers and elimination products. Mechanistic tests indicate that interconversion of the terminal propargylic carbonate to its allene is at best slow in this case.



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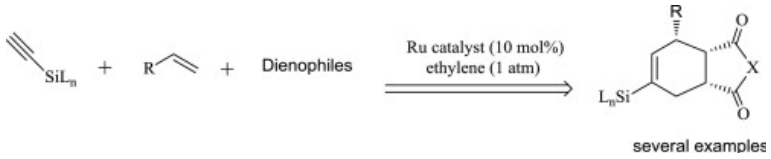
Citation: C. S. Junker, M. E. Welker, *Tetrahedron* **2012**, *68* (27-28), 5341

Ruthenium carbenes as catalysts in stereoselective ene–yne metathesis/Diels–Alder and ene–yne metathesis/Diels–Alder/cross coupling multicomponent reactions

An ene–yne cross metathesis of silyl substituted alkynes and alkenes followed by a Diels–Alder reaction of the metathesis product 2-silyl-1,3-dienes has been developed. The dienes thus prepared in situ were shown to participate in highly diastereoselective Diels–Alder reactions. In one case the silicon substituted Diels–Alder cycloadduct was subsequently used without isolation and purification in a Hiyama cross coupling reaction. The cross coupling reactions enable these silicon dienes to be used as synthons for a variety of other dienes.



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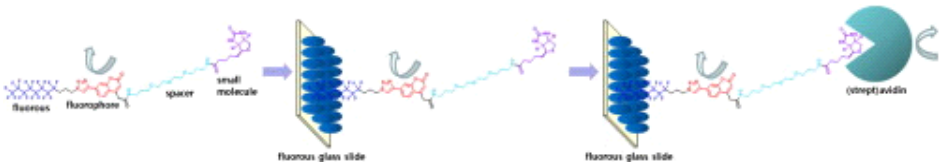
Citation: M.-K. Jeon, M.-K. Kang, K. H. Park, *Tetrahedron* **2012**, *68* (30), 6038

7-Triazolylcoumarin-based fluorescent tag system for stepwise, comparative assessment of small molecule microarrays

The potential use of a fluorescent tag system based on 7-(1H-1,2,3-triazol-4-yl)coumarin fluorophore having a fluorous moiety and a polyethylene glycol (PEG) spacer at opposite ends as a tool for a stepwise and comparative evaluation of the fabrication process of small molecule microarrays was illustrated by the qualitative analysis of the results of the fluorescence detection obtained from the microarray experiments using the tagged biotins and streptavidin-Cy3 (and avidin-Cy5) as the binding partners.



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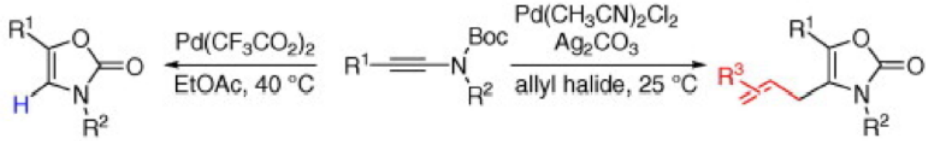
Citation: Lu, Z., Xu, X., Yang Z., Kong, L., Zhu, G. *Tetrahedron Letters*, **2012**, *53*(27), 3433-3436.

Approach to highly functionalized oxazolones by a Pd-catalyzed cyclization of N-alkynyl tert-butyloxycarbamates



63 to 88% yields
16 examples

65 to 90% yields
13 examples

A mild and operationally simple approach to highly functionalized oxazolones has been developed, which involves an intramolecular oxypalladation of N-alkynyl tert-butyloxycarbamates, followed by either protonolysis of the alkenyl C–Pd bond to afford 3,5-disubstituted oxazolones or allylation with allyl halides in the presence of Ag_2CO_3 to generate 3,4,5-trisubstituted oxazolones, respectively.

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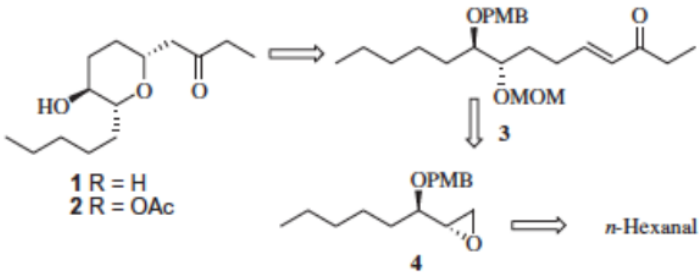
Citation: Reddy, P.J., Reddy, A.S., Yadav, J.S., Subba Reddy, B.V. *Tetra. Lett.* **2012**, *53(32)*, 4054.

First Stereoselective Total Synthesis of Decytospolides A and B



The first stereoselective total synthesis of decytospolides A and B has been accomplished starting from n-hexanal. The key steps involved in this synthesis are Horner–Wittig reaction, Sharpless asymmetric epoxidation, and oxa-Michael reaction. The 2,6-disubstituted tetrahydropyran containig natural products such as phorboxazoles, (-)-centrolobine, bryostatins, leucascandrolide A, and neopeltolide are found to exhibit promising biological properties which make them attractive synthetic targets to organic chemists.

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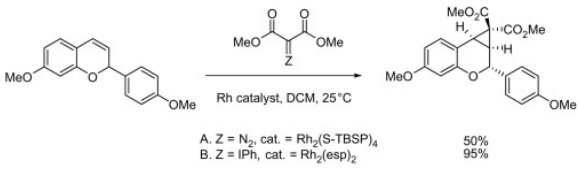
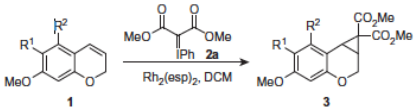
Citation: Stokes, S., Mustain, R., Pickle, L., Mead, K.T. *Tetra. Lett.* **2012**, *53(30)*, 3890.

Rhodium-catalyzed cyclopropanations of 2-aryl-2H-chromenes with dialkyl malonate esters. A comparison of a-diazo derivatives and phenyliodonium ylides





Entry	Chromene	R^1	R^2	Product[a]	Yield[b] (%)
1	**1a**	H	H	**3a**	67
2	**1b**	OMe	H	**3b**	88
3	**1c**	H	OMe	**3c**	79

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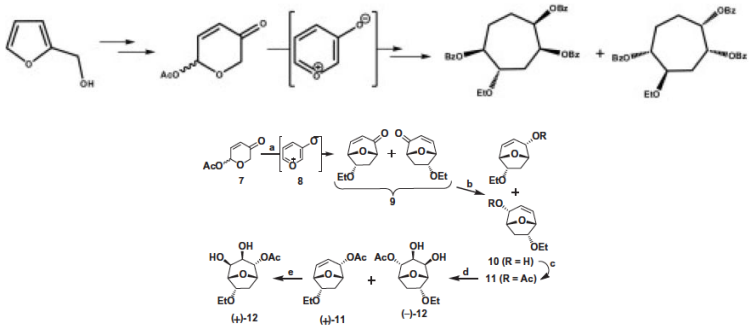
Citation: Yadav, A.A., Sarang, P.S., Sau, M., Thirumalairajan, S., Trivedi, G.K., Sulunkhe, M.M. *Tetra. Lett.* **2012**, *53(28), 3599.*

Synthesis of optically active seven-membered 1,5-anhydrocarbasugars and 1,4,5-tribenzoyloxy-2-ethoxy cycloheptanes via [5+2] cycloaddition



Scheme 1. Synthesis of optically active 1,5-anhydro carbasugars, (−)-**12** and (+)-**12**. Reagents and conditions: (a) Refs. 12,13; (b) $NaBH_4$, $CeCl_3{\cdot}7H_2O$, MeOH, 0 °C to rt, 4 h, 94%; (c) Ac_2O, Et_3N, DCM, 0 °C to rt, 5 h, 80%; (d) AD mix-β, $MeSO_2NH_2$, ^{t}BuOH:H_2O (1:1), 0 °C, 24 h, 42% ((−)-**12**) and ~45% ((+)-**11**); (e) OsO_4, NMO, ^{t}BuOH:H_2O (1:1), rt, 12 h, 87%.

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Citation: Liu, X., Jiao, X., Qian, Wu., Tian, C., Li, R. *Tetrahedron Letters*, **2012**, *53(29)*, 3805-3807.

A novel and efficient synthesis of Entecavir

key intermediate — Entecavir

A practical synthesis of Entecavir (1) has been accomplished in 10 steps with 21% overall yield. The key steps to construct the five-membered carbocyclic framework 2 are a ring-closing metathesis and a diethyl-aluminum 2,2,6,6-tetramethyl piperidide (DA-TMS) mediated epoxide isomerization. Furthermore, the guanine was introduced by modified Mitsunobu reaction.

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